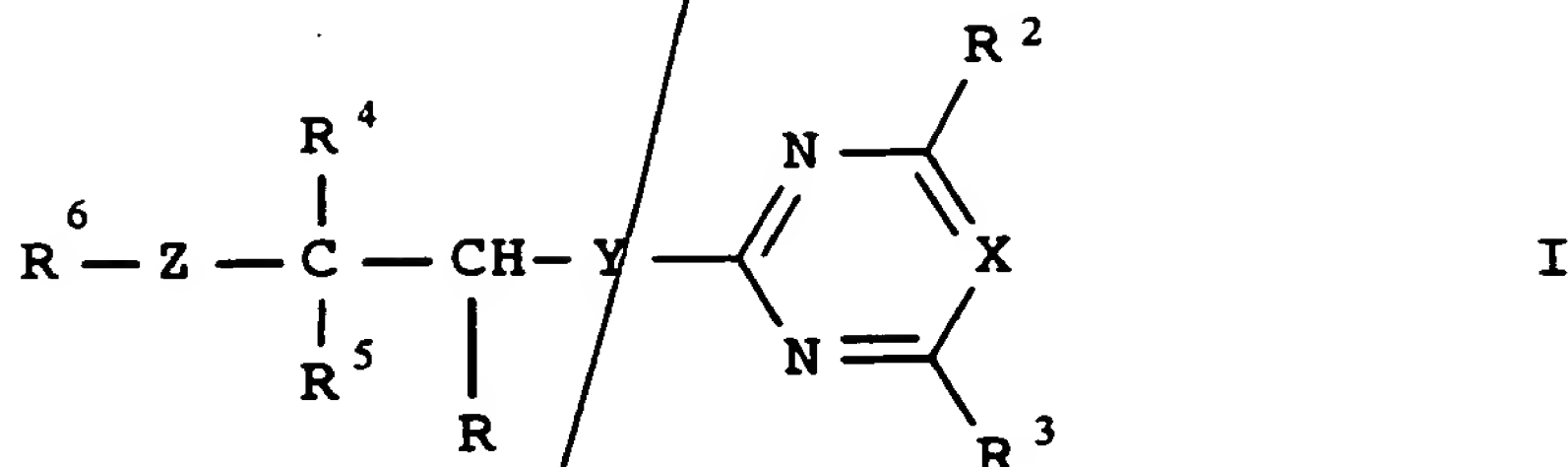


We claim:

The use of carboxylic acid derivatives of the formula I

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where R is formyl, CO<sub>2</sub>H or a radical which can be hydrolyzed to COOH, and the remaining substituents have the following meanings:

- R<sup>2</sup> is halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- X is nitrogen or CR<sup>14</sup> where R<sup>14</sup> is hydrogen or, together with R<sup>3</sup>, forms a 3- or 4-membered alkylene or alkenylene chain in which, in each case, one methylene group is replaced by oxygen;
- R<sup>3</sup> is halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio or R<sup>3</sup> is linked to R<sup>14</sup> as indicated above to form a 5- or 6-membered ring;
- R<sup>4</sup> is C<sub>1</sub>-C<sub>10</sub>-alkyl which can carry from one to five halogen atoms and/or one of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, cyano, C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxy-carbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals:
- C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- C<sub>1</sub>-C<sub>10</sub>-alkyl which can carry from one to five halogen atoms and carries one of the following radicals: a five-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio and/or phenyl;

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- 5 C<sub>3</sub>-C<sub>12</sub>-cycloalkyl or C<sub>3</sub>-C<sub>12</sub>-cycloalkenyl, each of which can contain one oxygen or sulfur atom and can carry from one to five halogen atoms and/or one of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, cyano, C<sub>1</sub>-C<sub>8</sub>-alkyl-carbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, phenyl, phenoxy or phenyl-carbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- 10 C<sub>3</sub>-C<sub>6</sub>-alkenyl or C<sub>3</sub>-C<sub>6</sub>-alkynyl, each of which can carry from one to five halogen atoms and/or one of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, cyano, C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, phenyl, phenoxy or
- 15 phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- 20 a five- or six-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkyl-
- 25 thio, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- 30 phenyl or naphthyl, each of which can be substituted by one or more of the following radicals: halogen, nitro, cyano, hydroxyl, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, phenoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, amino,
- 35 C<sub>1</sub>-C<sub>4</sub>-alkylamino or C<sub>1</sub>-C<sub>4</sub>-dialkylamino;
- 40 R<sup>4</sup> and R<sup>5</sup> form, together with the adjacent carbon atom, a 3- to 8-membered ring which can contain one oxygen or sulfur atom and can carry from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, halogen, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio [sic];
- 45 R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthioalkyl, phenyl or R<sup>5</sup> is linked to R<sup>4</sup> as indicated above to form a 3- to 8-membered ring;

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R<sup>6</sup> is C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkynyl or C<sub>3</sub>-C<sub>8</sub>-cyclo-alkyl, it being possible for each of these radicals to be substituted one or more times by: halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkynyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, phenyl, phenoxy or phenyl which is substituted one or more times, eg. from one to three times, by halogen, nitro, cyano, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy or C<sub>1</sub>-C<sub>4</sub>-alkylthio;

phenyl or naphthyl, each of which can be substituted by one or more of the following radicals: halogen, nitro, cyano, hydroxyl, amino, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, phenoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylamino or C<sub>1</sub>-C<sub>4</sub>-dialkylamino;

a five- or six-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;

Y is sulfur or oxygen or a single bond;  
Z is sulfur or oxygen;

for the production of drugs.

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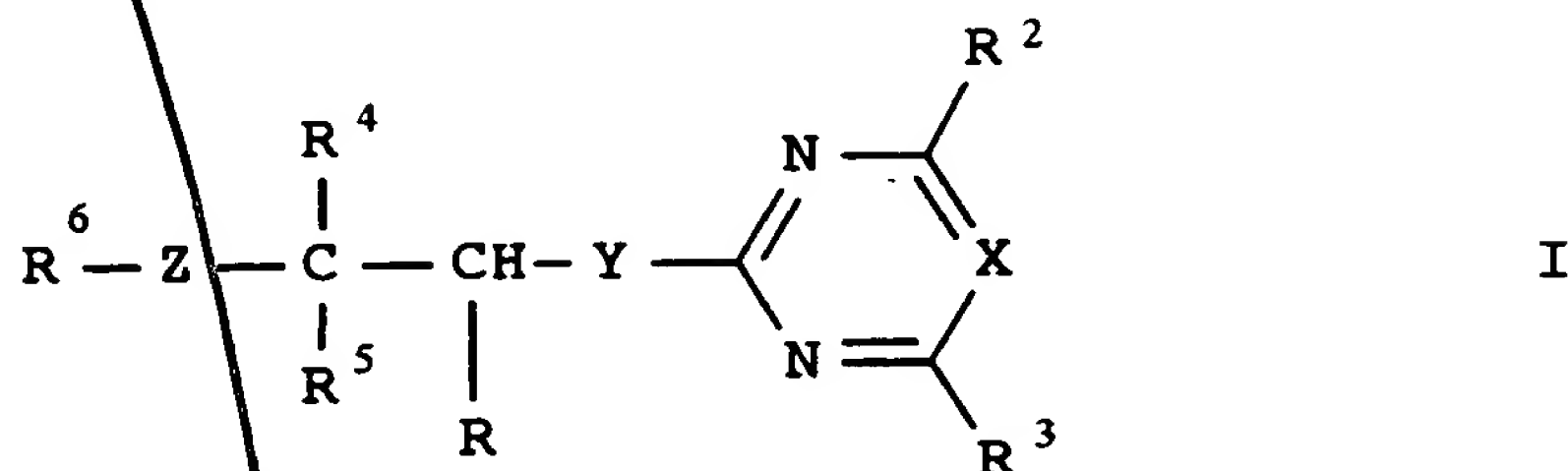
## The use of carboxylic acid derivatives as drugs

## Abstract

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The use of carboxylic acid derivatives of the formula I

10



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where R is formyl, CO<sub>2</sub>H or a radical which can be hydrolyzed to COOH, and the remaining substituents have the following meanings:

20 R<sup>2</sup> is halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy or C<sub>1</sub>-C<sub>4</sub>-alkylthio;

25 X is nitrogen or CR<sup>14</sup> where R<sup>14</sup> is hydrogen or, together with R<sup>3</sup>, forms a 3- or 4-membered alkylene or alkenylene chain in which, in each case, one methylene group is replaced by oxygen;

30 R<sup>3</sup> is halogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio or R<sup>3</sup> is linked to R<sup>14</sup> as indicated above to form a 5- or 6-membered ring;

35 R<sup>4</sup> is C<sub>1</sub>-C<sub>10</sub>-alkyl which can carry from one to five halogen atoms and/or one of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, cyano, C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxy-carbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;

40 C<sub>1</sub>-C<sub>10</sub>-alkyl which can carry from one to five halogen atoms and carries one of the following radicals: a five-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, 45 C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio and/or phenyl;

- C<sub>3</sub>-C<sub>12</sub>-cycloalkyl or C<sub>3</sub>-C<sub>12</sub>-cycloalkenyl, each of which can contain one oxygen or sulfur atom and can carry from one to five halogen atoms and/or one of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, cyano, C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- C<sub>3</sub>-C<sub>6</sub>-alkenyl or C<sub>3</sub>-C<sub>6</sub>-alkynyl, each of which can carry from one to five halogen atoms and/or one of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, cyano, C<sub>1</sub>-C<sub>8</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>8</sub>-alkoxycarbonyl, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- a five- or six-membered heteroaromatic ring which contains from one to three nitrogen atoms and/or one sulfur or oxygen atom and which can carry from one to four halogen atoms and/or one or two of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, phenyl, phenoxy or phenylcarbonyl, where the phenyl radicals in turn can carry from one to five halogen atoms and/or from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;
- phenyl or naphthyl, each of which can be substituted by one or more of the following radicals: halogen, nitro, cyano, hydroxyl, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, phenoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, amino, C<sub>1</sub>-C<sub>4</sub>-alkylamino or C<sub>1</sub>-C<sub>4</sub>-dialkylamino;
- R<sup>4</sup> and R<sup>5</sup> form, together with the adjacent carbon atom, a 3- to 8-membered ring which can contain one oxygen or sulfur atom and can carry from one to three of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl, halogen, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio [sic];
- R<sup>5</sup> is hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkynyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxyalkyl, C<sub>1</sub>-C<sub>4</sub>-alkylthioalkyl, phenyl or R<sup>5</sup> is linked to R<sup>4</sup> as indicated above to form a 3- to 8-membered ring;

R<sup>6</sup> is C<sub>1</sub>-C<sub>8</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkynyl or C<sub>3</sub>-C<sub>8</sub>-cyclo-  
alkyl, it being possible for each of these radicals to be  
substituted one or more times by: halogen, nitro, cyano,  
C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkynyloxy, C<sub>1</sub>-C<sub>4</sub>-alkyl-  
thio, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkylcarbonyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy-  
carbonyl, C<sub>1</sub>-C<sub>4</sub>-alkylamino, di-C<sub>1</sub>-C<sub>4</sub>-alkylamino, phenyl,  
phenoxy or phenyl which is substituted one or more times, eg.  
from one to three times, by halogen, nitro, cyano,  
C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy or  
C<sub>1</sub>-C<sub>4</sub>-alkylthio;

phenyl or naphthyl, each of which can be substituted by one  
or more of the following radicals: halogen, nitro, cyano,  
hydroxyl, amino, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, phenoxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>4</sub>-alkylamino or  
C<sub>1</sub>-C<sub>4</sub>-dialkylamino;

a five- or six-membered heteroaromatic ring which contains  
from one to three nitrogen atoms and/or one sulfur or oxygen  
atom and which can carry from one to four halogen atoms and/  
or one or two of the following radicals: C<sub>1</sub>-C<sub>4</sub>-alkyl,  
C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy, C<sub>1</sub>-C<sub>4</sub>-alkyl-  
thio, phenyl, phenoxy or phenylcarbonyl, where the phenyl  
radicals in turn can carry from one to five halogen atoms  
and/or from one to three of the following radicals:  
C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-haloalkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-haloalkoxy  
and/or C<sub>1</sub>-C<sub>4</sub>-alkylthio;

Y is sulfur or oxygen or a single bond;

Z is sulfur or oxygen;

for the production of drugs.